(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 12 May 2005 (12.05.2005)

PCT

(10) International Publication Number: WO 2005/042520 A1

(51) International Patent Classification⁷: C07D 403/12, 401/12, A61K 31/506, 31/4439

(21) International Application Number: PCT/EP2004/011550

(22) International Filing Date:

14 October 2004 (14.10.2004)

(25) Filing Language:

German

(26) Publication Language:

German

(30) Priority Data: 103 49 587.8 24 October 2003 (24.10.2003) DE

(71) Applicant (for all designated States except US): MERCK PATENT GMBH [DE/DE]; Frankfurter Str. 250, 64293 Darmstadt (DE).

(72) Inventors; and

- (75) Inventors/Applicants (for US only): STÄHLE, Wolfgang [DE/DE]; Neuweg 14c, 55218 Ingelheim (DE). BUCH-STALLER, Hans-Peter [AT/DE]; Neckarstrasse 6, 64347 Griesheim (DE). JONCZYK, Alfred [DE/DE]; Schepp Allee 57, 64295 Darmstadt (DE). RAUTENBERG, Wilfried [DE/DE]; Magdeburger Strasse 13, 64354 Reinheim (DE).
- (74) Common Representative: MERCK PATENT GMBH; Frankfurter Str. 250, 64293 Darmstadt (DE).

- (81) Designated states (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated states (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BENZIMIDAZOLYL DERIVATIVES

$$(R^{1})_{m} \xrightarrow{N} \overset{H}{\longrightarrow} \overset{H}{\longrightarrow} \overset{G}{\longrightarrow} \overset{M}{\longrightarrow} (R^{2})_{q} \qquad \text{(I)}$$

(57) Abstract: Novel compounds of the formula I (i) in which R¹, R¹, L, E, G, M, Q, U, R², m, p and q have the meanings indicated in Claim 1, are inhibitors of tyrosine kinases, in particular TIE-2, and Raf kinases and can be employed for the treatment of tumours.